ALPHA DIAGNOSTIC INTERNATIONAL

Product Specification Sheet

Life Technologies™ Your Molecular & Cell Technology Partner

□ **Cat. #** SM-101000-5	EGFR/HER2 kinase inhibitor (Afatinib/BIBW-2992)	**SIZE:** 5 mg
□ **Cat. #** SM-101000-50	EGFR/HER2 kinase inhibitor (Afatinib/BIBW-2992)	**SIZE:** 50 mg

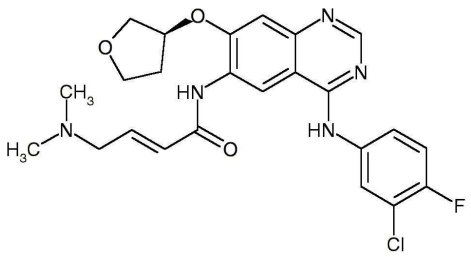
Afatinib (INN; trade name Gilotrif, previously Tomtovok and Tovok) is an approved drug against non-small cell lung carcinoma (NSCLC). On July 12, 2013, the U. S. Food and Drug Administration approved afatinib (Gilotrif tablets, Boehringer Ingelheim Pharmaceuticals, Inc.), for the first-line treatment of patients with metastatic non-small cell lung cancer (NSCLC)

It is an oral dual receptor tyrosine kinase inhibitor of human EGF receptor (EGFR) and human epidermal growth factor receptor-2 (HER-2)/neu. EGFR and HER-2/neu activate numerous signaling pathways leading to cancer cell proliferation, survival and migration. Afatinib is not only active against EGFR mutations targeted by first generation TKIs like erlotinib or gefitinib but also against those not sensitive to these standard therapies. Because of its additional activity against Her2, it is investigated for breast cancer as well as other EGFR and Her2 driven cancers.

Genetic alterations in the kinase domain of the epidermal growth factor receptor (EGFR) in non-small cell lung cancer (NSCLC) patients are associated with sensitivity to treatment with small molecule tyrosine kinase inhibitors. Human epidermal growth factor receptor (HER)2/neu kinase domain mutations are found in approximately 1-4% of lung adenocarcinomas with a similar phenotype to tumors with epidermal growth factor receptor (EGFR) mutations.

In vitro, BIBW-2992 effectively and selectively inhibited EGFR and HER-2/neu and inhibited EGFR and HER-2/neu total tyrosine phosphorylation and tumor cell proliferation in vivo. Importantly, BIBW-2992 was active against tumors over expressing EGFR with the secondary Thr790Met point mutation, which confers resistance to the first-generation EGFR inhibitors gefitinib and erlotinib. It also suppresses EGF-induced EGFR phosphorylation and cellular proliferation in various cell lines, including EGFR-over expressing and HER2-expressing cell lines A431, NIH-3T3-HER2, NCI-N87 and BT-474.
The approval of afatinib was based on the demonstration of improved progression-free survival (PFS) in a multi-center, international, open-label, randomized (2:1) trial.

Properties:



Mol Wt: 1265.3
Form: Powder
Purity >95%
Formula C24H25ClFN5O3
CAS No. 850140-72-6
Synonyms Tomtovok, Tovok
Solubility DMSO 97 mg/Ml, Water <1 mg/mL, Ethanol 15 mg/mL
Chemical Name (S,E)-N-(4-(3-chloro-4-fluorophenylamino)-7-(tetrahydrofuran-3-yloxy)quinazolin-6-yl)-4-(dimethylamino)but-2-
Do not freeze and thaw.

Storage:

Store powder at -20oC for up to 2 years.
2 weeks4°C in DMSO 2 months-80°Cin DMSO

Stability: 6-12 months at –20°C or below.

Shipping: 4°C for solutions and room temp for powder

General References:

D Li et al Oncogene (2008) 27, 4702-4711 Eskens et al. (2008). Cancer 98: 80-85Minkovsky N et al. Curr Opin Investig Drugs 9 (12): 1336–46. De Grève J et al Lung Cancer. 2012 76(1):123-7.

*This product is for research use only

Related Items

SM-101000-5	EGFR/HER2 kinase inhibitor (>99%, M.wt 485.94) (Afatinib/BIBW-2992
SM-101010-5	Inhibitor of EGFR/HER family (Her1, Her2, Her3 or Pan Her-inhibitor) (BMS-59926/AC480, Mol wt 567.01, >99%)
SM-101020-10	Inhibitor of EGFR/HDAC/Her2 (CUDC-101; 7-((4-((3-ethynylphenyl)amino)-7-methoxyquinazolin-6-yl)oxy)-N-hydroxyheptanamide, >99%)
SM-101030-25	Lapatinib, Inhibitor of Her2/EGFR (IC50=10 nM; mol wt 581; >98%)
SM-101040-5	Cell permeable Inhibitor of EGFR/ERB family/Her2 (Neratinib/HKI-272, mol wt 557.04; >98%)
SM-101050-10	Cell permeable Inhibitor of EGFR2/FGFR/PDGFr/JAK1/Her2 ((E)-4-((4-)1H-1,2,3-Triazol-1-yl)butyl)phenoxy)methyl)-2-(4-trifluoromethyl)oxazole,; >98%)
SM-101060-25	Lapatinib Ditosylate (GW572016, GW2016, Tykerb, Tyverb), Autophos. Inhibitor of Her2/Erb2 (mol wt 925; >98%)
SM-101000-50	130903P
SM-101070-10	Canertinib (CI-1033), kinase Inhibitor of Her2/Erb2/EGFR (mol wt 485; >98%)
SM-101080-5	CP-724,714, Potent and selective Inhibitor of Her2/Erb2 (mol wt 469; >98%)
SM-101090-5	AZD8931, reversible and competitive Inhibitor of Her2/Erbb2/ErbB3 (mol wt 473; >98%)
SM-101100-5	AEE788 (NVP-AEE788), dual Inhibitor of Her2/Erbb2/EGFR (mol wt 440; >98%)
SM-101110-50	Mubritinib (TAK-165), potent Inhibitor of Her2/Erbb2 (IC50=6 nm; mol wt 468; >98%)
SM-101120-5	Arry-380, Oral, potent Inhibitor of Her2/Erbb2 Tyr kinase (IC50=8 nM; mol wt 869; >98%)
SM-101130-5	Tak-285, dual Inhibitor of Her2/EGFR Tyr kinase (IC50=17 nM; mol wt 547; >98%)

Alpha Diagnostic Intl Inc., 6203 Woodlake Center Dr, San Antonio, TX 78244, USA; **Email**: service@4adi.com

India Contact:
Life Technologies (India) Pvt. Ltd.
306, Aggarwal City Mall, Opposite M2K Pitampura, Delhi – 110034 (INDIA). Ph: +91-11-42208000, 42208111, 42208222, Mobile: +91-9810521400, Fax: +91-11-42208444
Email: customerservice@lifetechindia.com Website: www.lifetechindia.com